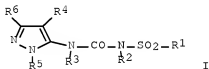


TITLE: Preparation of sulfonylureidopyrazoles as endothelin converting enzyme inhibitors  
 INVENTOR(S): Hasegawa, Hirohiko; Yamazaki, Kazuto; Kanaoka, Shoji; Ohashi, Naohito  
 PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 54 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000053649	A	20000222	JP 1998-226684	19980811 <--
PRIORITY APPLN. INFO.:			JP 1998-226684	19980811
OTHER SOURCE(S):	MARPAT	132:151816		

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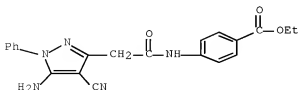


AB The title compds. I [R1 = alkyl, etc.; R2, R3 = H, alkyl, etc.; R4 = H, halo, etc.; R5 = H, alkyl, etc.; R6 = Rb1YAl; Al, B1 = alkylene, etc.; Y = OCO, etc.; R = H, cycloalkyl, etc.] are prepared I are useful in the treatment of cardiovascular diseases such as hypertension, arteriosclerosis, myocardial infarction, etc., cerebrovascular diseases, kidney diseases, asthma, complications of diabetes, endotoxin shock, etc. 4-Cyano-1-phenyl-3-benzoyloxycarbonylmethyl-5-[3-(4-chlorobenzenesulfonyl)ureido]-(1H)pyrazole in vitro showed IC50 of 0.058  $\mu$ M against endothelin converting enzyme.

IT 257954-72-6P 257954-77-1P 257954-82-8P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of sulfonylureidopyrazoles as endothelin converting enzyme inhibitors)

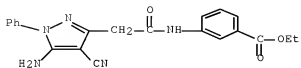
RN 257954-72-6 ZCAPLUS

CN Benzoic acid, 4-[[[(5-amino-4-cyano-1-phenyl-1H-pyrazol-3-yl)acetyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



RN 257954-77-1 ZCAPLUS

CN Benzoic acid, 3-[[[5-amino-4-cyano-1-phenyl-1H-pyrazol-3-yl)acetyl]amino]-  
 , ethyl ester (9CI) (CA INDEX NAME)



RN 257954-82-8 ZCAPLUS

CN Benzoic acid, 2-[[[5-amino-4-cyano-1-phenyl-1H-pyrazol-3-yl)acetyl]amino]-  
 , ethyl ester (9CI) (CA INDEX NAME)

